

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
1 February 2001 (01.02.2001)

PCT

(10) International Publication Number
WO 01/07436 A2

(51) International Patent Classification⁷: C07D 403/06,
401/06, 409/14, 409/12, 471/04, 241/08, 495/04, 401/14,
409/06, 403/14, 491/04, 417/14, A61K 31/496, A61P 7/02

(21) International Application Number: PCT/IB00/01156

(22) International Filing Date: 26 July 2000 (26.07.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
09/363,196 28 July 1999 (28.07.1999) US

(71) Applicant (for all designated States except US): AVENTIS
PHARMACEUTICALS PRODUCTS INC. [US/US];
500 Arcola Road, Mail Stop #3C43, Collegeville, PA
19426-0997 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): EWING, William,
R. [US/US]; 805 Graystone Lane, Downingtown, PA
19335 (US). BECKER, Michael, R. [US/US]; 62 Church
Road, Norristown, PA 19401 (US). CHOI-SLEDESKI,
Yong, Mi [US/US]; 5 Dana Drive, Collegeville, PA 19426
(US). PAULS, Heinz, W. [CA/US]; 3770 Worthington Cir-
cle, Collegeville, PA 19426 (US). HE, Wei [US/US]; 1005
Bayberry Lane, Collegeville, PA 19426 (US). CONDON,
Stephen, M. [US/US]; 2130 Art School Road, Chester
Springs, PA 19425 (US). DAVIS, Roderick, S. [US/US];
Apartment B16, 1100 West Chester Pike, West Chester,
PA 19382 (US). HANNEY, Barbara, A. [US/US]; 2813
Upper Right Road, Pennsburg, PA 18073 (US). SPADA,
Alfred, P. [US/US]; 473 Painter Wag, Lansdale, PA 19446

(US). BURNS, Christopher, J. [US/US]; Unit 49, 138
Montrose Avenue, Rosemont, PA 19010 (US). JIANG,
John, Z. [CN/US]; 1003 Bayberry Lane, Collegeville,
PA 19426 (US). LI, Aiwen [CN/US]; Apartment F0101,
2828 Egypt Road, Audubon, PA 19403 (US). MYERS,
Michael, R. [US/US]; 205 Lincoln Road, Reading, PA
19606 (US). LAU, Wan, F. [MY/US]; 64 Prospect Hill
Road, Groton, CT 06340-5627 (US). POLI, Gregory, B.
[US/US]; 1415 Azalean Courts, Perkasi, PA 18944 (US).

(74) Agent: OEHLER, Ross, J.; Aventis Pharmaceuticals
Products Inc., Routes 202-206, P.O. Box 6800, Mail Code
EMC-G1, Bridgewater, NJ 08807-0800 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE,
DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO,
NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian
patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European
patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

— Without international search report and to be republished
upon receipt of that report.

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: SUBSTITUTED OXOAZAHETEROCYCLYL COMPOUNDS

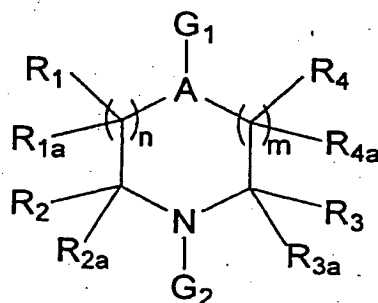
(57) Abstract: This invention is directed to oxoazaheterocyclyl compounds which inhibit Factor Xa, to oxoazaheterocyclyl com-
pounds which inhibit both Factor Xa and Factor IIa, to pharmaceutical compositions comprising these compounds, to intermediates
useful for preparing these compounds, to a method of directly inhibiting Factor Xa and to a method of simultaneously directly in-
hibiting Factor Xa and Factor IIa.



WO 01/07436 A2

Claims:

1. A compound of formula I



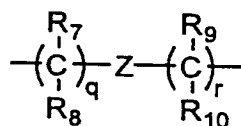
or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof, wherein

G₁ and G₂ are L₁-Cy₁ or L₂-Cy₂, provided that when R₁ and R_{1a} or R₄ and R_{4a} taken together form O or S, then G₁ is L₂-Cy₂ and G₂ is L₁-Cy₁, or when R₂ and R_{2a} or R₃ and R_{3a} taken together form O or S, then G₁ is L₁-Cy₁ and G₂ is L₂-Cy₂;

Cy₁ and Cy₂ are independently selected from optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroaryl cycloalkyl, optionally substituted fused heteroaryl cycloalkenyl, optionally substituted fused heteroaryl heterocyclyl and optionally substituted fused heteroaryl heterocyclenyl;

L₁ is absent, O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅-, -C(O)Y-C(X)Y-, -C(X)YC(O)-, -C(O)NR₅-S(O)p-, or -C(O)C(O)NR₅S(O)p-;

L₂ is absent or a group of formula



L₃ and L₅ are independently absent, optionally substituted alkylene, optionally substituted alkenylene or optionally substituted alkynylene;

L₄ is optionally substituted alkylene, optionally substituted alkenylene, or optionally substituted alkynylene;

Q and Q' are independently absent, O, S, NR₅, -S(O)p-, -S(O)pNR₅- or -C(X)Y-;

A is CH or N;

- R_1 , R_{1a} , R_2 , R_{2a} , R_3 , R_{3a} , R_4 and R_{4a} are independently selected from hydrogen, carboxy, alkoxycarbonyl, $Y_1Y_2NC(O)-$, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl, or R_1 and R_{1a} , R_2 and R_{2a} , R_3 and R_{3a} , or R_4 and R_{4a} taken together form O or S; or R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R_3 and R_4 together with the carbon atoms through which R_3 and R_4 are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form an aryl or heteroaryl group; or R_{3a} and R_{4a} are absent and R_3 and R_4 together with the carbon atoms through which R_3 and R_4 are linked form an aryl or heteroaryl group; or one or more of the pairs R_1 and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_2 and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_3 and R_{3a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_4 and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;
- m and n are independently 0, 1 or 2, provided that m and n are not both 0 and further provided that when R_1 and R_{1a} taken together form O or S, n is 1, and when R_4 and R_{4a} taken together form O or S, m is 1;
- R_5 is hydrogen, optionally substituted alkyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, $R_6O(CH_2)_v-$, $R_6O_2C(CH_2)_x-$, $Y_1Y_2NC(O)(CH_2)_x-$, or $Y_1Y_2N(CH_2)_v-$;
- R_6 is hydrogen, optionally substituted alkyl, optionally substituted aralkyl or optionally substituted heteroaralkyl;
- Y_1 and Y_2 are independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted aryl, optionally substituted aralkyl or optionally substituted heteroaralkyl, or Y_1 and Y_2 taken together with the N through which Y_1 and Y_2 are linked form a monocyclic heterocyclyl;
- R_7 , R_8 , R_9 and R_{10} are independently selected from hydrogen, hydroxy, alkoxy, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl and optionally substituted heteroaralkyl, provided that only one of R_7 and R_8 or one of R_9 and R_{10} is hydroxy or alkoxy, and further provided when any of R_7 , R_8 , R_9 and R_{10} is hydroxy or alkoxy, then the hydroxy or alkoxy is not α -substituted to an N, O or S in Z;
- X is O or S; Y is absent or is selected from O, S and NR_5 ;

Z is absent or is selected from optionally substituted lower alkenylene, optionally substituted lower alkynylene, O, -C(O)-, S(O)p, NR₅, -NR₅C(O)- and -C(O)NR₅;

x is 1, 2, 3 or 4; v is 2, 3 or 4;

p is 1 or 2; and q and r are independently 0, 1, 2 or 3, provided that q and r are not both 0,

5 provided that when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅- and R₃ and R_{3a}

taken together form O or S, then R₂ and R_{2a} are independently selected from hydrogen, alkyl, aminoalkyl, alkylaminoalkyl, alkoxy, alkoxyalkyl, alkoxyaminoalkyl, cycloalkylalkylamino, benzyloxyalkyl, isopropyl, aminomethyl, methoxyethylaminomethyl, piperazin, pyrrolidin, ethoxymethyl, benzyloxymethyl, methoxymethyl, isobutyl, isopropylamino or

10 isopropylaminomethyl, provided that R₂ and R_{2a} are not each hydrogen;

or when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅- and R₃ and R_{3a} taken together form O or S, then R₄ and R_{4a} taken together form O or S;

or when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅- and R₃ and R_{3a} taken together form O or S, then Cy₁ is thiophen-isoxazol, thiophen-pyrazol, thiophen-oxadiazol,

15 thiophen-thiadiazol, thiophen-triazol, thiophen-pyridin or phenyl-triazol and Cy₂ is amino-quinazolin or pyrrolol-pyridin;

or when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅- then R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R₃ and R₄ together with the carbon atoms

20 through which R₃ and R₄ are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form an aryl or heteroaryl group; or R_{3a} and R_{4a} are absent and R₃ and R₄ together with the carbon atoms through which R₃ and R₄ are

25 linked form an aryl or heteroaryl group; or one or more of the pairs R₁ and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₂ and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₃ and R_{3a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₄ and R_{4a} taken together with the carbon atom through which they are

30 linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;

or when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅-, then R₁, R_{1a}, R₂, R_{2a}, R₃, R_{3a}, R₄ and R_{4a} are independently Y₁Y₂NC(O)- and Y₁ and Y₂ are independently hydrogen, optionally substituted alkoxy or optionally substituted aryloxy, but Y₁ and Y₂ are not simultaneously hydrogen,

35 or when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅-, then Z is -C(O)-.

2. A compound according to claim 1 wherein Cy_2 is optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted fused heteroarylheterocyclyl, optionally substituted fused heteroarylheterocyclenyl, optionally substituted fused heteroarylcycloalkenyl, optionally substituted fused heteroarylcycloalkyl, fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, or optionally substituted aryl.

3. A compound according to claim 1 wherein L_1 is absent, optionally substituted alkylene, optionally substituted alkenylene, $-C(O)NR_5-$, $-S(O)p-$, $-C(O)-$, $-C(O)Y-C(X)Y-$, $-C(O)O-$, $C(O)NR_5-S(O)p-$, $-C(O)-C(O)NR_5S(O)p-$, $-S(O)pNR_5-$, $-C(O)-alkylene-O-$, $-C(O)-alkenylene-O-$, $-S(O)p-alkenylene-$, $-S(O)p-alkylene-$, $-C(O)-alkylene-C(O)-$, $-C(O)-alkylene-S(O)p-$, $-S(O)p-alkylene-C(O)-$, $-C(O)-alkylene-$, $-C(O)-alkenylene-$, $-alkylene-C(O)NR_5-$, methylene, $-S(O)p-alkenylene-$, $-C(O)C(O)NR_5$ or $-C(O)CH(OH)-alkylene-$.

4. A compound according to claim 1 wherein Cy_1 is optionally substituted aryl, heteroaryl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylcycloalkyl, optionally substituted fused heteroarylcycloalkenyl, optionally substituted fused heteroarylheterocyclyl or optionally substituted fused heteroarylheterocyclenyl.

5. A compound according to claim 1 wherein R_4 is alkoxyalkyl, alkylthioalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkoxycarbonylalkyl, hydroxyalkyl, acylalkyl, acylaminoalkyl or carbamoylalkyl; and R_{4a} is hydrogen and, wherein R_2 alkoxyalkyl, alkoxycarbonylalkyl, carboxyalkyl, hydroxyalkyl or heterocyclylalkyloxycarbonyl, and R_{2a} is hydrogen.

6. A compound according to claim 1 wherein

A is N;

G_1 is L_1-Cy_1 and G_2 is L_2-Cy_2 ;

L_1 and L_2 are independently absent, methylene, ethylene, sulfonyl, alkenesulfonyl or alkylene; Cy_1 is thiaheteroaryl, thiaheterocyclyl, thiaheterocyclenyl, fused thiaheteroarylcycloalkyl, fused thiaheteroarylcycloalkenyl, fused heteroarylthiacycloalkyl or fused heteroarylthiacycloalkenyl, thiophen-isoxazolyl, thieno-pyridineyl, benzo-thiophen, indolyl, morpholinyl, aminopyridine-

- benzyl, pyrimidin-benzyl, aminoquinazolin, pyrimidin-piperidin, thiophen-pyrazol, thiophen-oxadiazol, thiophen-thiadiazol, thiophen-triazol, thiophen-pyridin, phenyl-triazol optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted
- 5 heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroaryl-cycloalkyl, optionally substituted fused heteroaryl-cycloalkenyl, optionally substituted fused heteroarylheterocyclyl or optionally substituted fused heteroarylheterocyclenyl;
- 10 Cy_2 is amino-quinazolin, benzhydrylidene-amino, pyrrolo-pyridin, bipyridinyl, pyridin-benzyl, thiophenyl, thiophen-benzyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclyl,
- 15 optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroaryl-cycloalkyl, optionally substituted fused heteroaryl-cycloalkenyl, optionally substituted fused heteroarylheterocyclyl, optionally substituted fused heteroarylheterocyclenyl, azaheteroaryl, azaheterocyclyl, azaheterocyclenyl, fused azaheteroaryl-cycloalkyl, fused azaheteroaryl-cycloalkenyl, fused heteroarylazacycloalkyl or fused heteroarylazacycloalkenyl;
- 20 R_3 and R_{3a} taken together form O or S;
- R_2 and R_{2a} are independently selected from hydrogen, alkyl, aminoalkyl, alkylaminoalkyl, alkoxy, alkoxyalkyl, alkoxyaminoalkyl, cycloalkylalkylamino, benzyloxyalkyl, isopropyl, aminomethyl, methoxyethylaminomethyl, piperazin, pyrrolidin, ethoxymethyl, benzyloxymethyl, methoxymethyl, isobutyl, isopropylamino or isopropylaminomethyl, provided that R_2 and R_{2a} are
- 25 not each hydrogen, or carboxy, alkoxycarbonyl, $Y_1Y_2NC(O)-$, wherein Y_1 and Y_2 are defined as in claim 1, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl; or R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R_1 and R_2
- 30 together with the carbon atoms through which R_1 and R_2 are linked form an aryl or heteroaryl group; or R_2 and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;
- R_1 and R_{1a} are independently selected from hydrogen, carboxy, alkoxycarbonyl, $Y_1Y_2NC(O)-$, wherein Y_1 and Y_2 are defined as in claim 1, optionally substituted alkyl, optionally substituted

aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl;

or R_1 and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;

- 5 R_4 and R_{4a} are independently selected from hydrogen, carboxy, alkoxycarbonyl, $Y_1Y_2NC(O)-$, wherein Y_1 and Y_2 are defined as in claim 1, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl or R_4 and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group, or R_4 and R_{4a} taken together form O or
- 10 S;
- and m and n are each 1.

7. A compound according to claim 6 wherein.

A is N;

- 15 G_1 is L_1-Cy_1 and G_2 is L_2-Cy_2 ;

L_1 is sulfonyl or alkylsulfonyl;

L_2 is absent, methylene, ethylene or alkylene;

- Cy_1 is thiaheteroaryl, thiaheterocyclyl, thiaheterocyclenyl, fused thiaheteroarylcyaloalkyl, fused thiaheteroarylcyaloalkenyl, fused heteroarylthiacycloalkyl or fused heteroarylthiacycloalkenyl,
- 20 thiophen-isoxazolyl, thieno-pyridineyl, benzo-thiophen, indolyl, morpholinyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted fused arylcyaloalkyl, optionally substituted fused arylcyaloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally
- 25 substituted fused heteroarylcyaloalkyl, optionally substituted fused heteroarylcyaloalkenyl, optionally substituted fused heteroarylheterocyclyl or optionally substituted fused heteroarylheterocyclenyl;

- Cy_2 is amino-quinazolin, benzhydrylidene-amino, pyrrolo-pyridin, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted fused arylcyaloalkyl, optionally substituted fused arylcyaloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylcyaloalkyl, optionally substituted fused heteroarylcyaloalkenyl, optionally substituted fused heteroarylheterocyclyl, optionally substituted fused
- 30 heteroarylheterocyclenyl, azaheteroaryl, azaheterocyclyl, azaheterocyclenyl, fused
- 35

azaheteroarylcycloalkyl, fused azaheteroarylcycloalkenyl, fused heteroarylazacycloalkyl or fused heteroarylazacycloalkenyl;

R_3 and R_{3a} taken together form O or S;

R_2 and R_{2a} are independently selected from hydrogen, alkyl, aminoalkyl, alkylaminoalkyl, alkoxy, alkoxyalkyl, alkoxyaminoalkyl, cycloalkylalkylamino, benzyloxyalkyl, isopropyl, aminomethyl, methoxyethylaminomethyl, piperazin, pyrrolidin, ethoxymethyl, benzyloxymethyl, methoxymethyl, isobutyl, isopropylamino or isopropylaminomethyl, provided that R_2 and R_{2a} are not each hydrogen;

R_1 , R_{1a} , R_4 and R_{4a} are independently selected from hydrogen, carboxy, alkoxycarbonyl,

$Y_1Y_2NC(O)-$, wherein Y_1 and Y_2 are defined as in claim 1, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl;

or the pairs R_1 and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_4 and R_{4a} taken together with the

carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;

and m and n are each 1.

8. A compound according to claim 6 wherein

A is N;

G_1 is L_1-Cy_1 and G_2 is L_2-Cy_2 ;

L_1 and L_2 are independently absent, methylene, ethylene or alkylene;

Cy_1 is thiophen-isoxazolyl, aminopyridine-benzyl, benzo-thiophen, pyrimidin-benzyl,

aminoquinazolin, pyrimidin-piperidin, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted fused

arylcyaloalkyl, optionally substituted fused arylcyaloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused

heteroarylcyaloalkyl, optionally substituted fused heteroarylcyaloalkenyl, optionally substituted fused heteroarylheterocyclyl or optionally substituted fused heteroarylheterocyclenyl;

Cy_2 is bipyridinyl, amino-quinazolin, pyridin-benzyl, thiophenyl, thiophen-benzyl, pyrrolo-pyridin, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted

heterocyclenyl, optionally substituted fused arylcyaloalkyl, optionally substituted fused

arylcycloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylcycloalkyl, optionally substituted fused heteroarylcycloalkenyl, optionally substituted fused heteroarylheterocyclyl or optionally substituted fused heteroarylheterocyclenyl;

5 R_3 and R_{3a} taken together form O or S; and

R_4 and R_{4a} taken together form O or S;

R_1 , R_{1a} , R_2 , R_{2a} , are independently selected from hydrogen, carboxy, alkoxycarbonyl, $Y_1Y_2NC(O)-$, wherein Y_1 and Y_2 are defined as in claim 1, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl; or R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form an aryl or heteroaryl group; or one or more of the pairs R_1 and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_2 and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; and m and n are each 1.

9. A compound according to claim 6 wherein

20 A is N;

G_1 is L_1-Cy_1 and G_2 is L_2-Cy_2 ;

L_1 and L_2 are independently absent, methylene, ethylene or alkylene;

Cy_1 is thiophen-isoxazol, thiophen-pyrazol, thiophen-oxadiazol, thiophen-thiadiazol, thiophen-triazol, thiophen-pyridin or phenyl-triazol;

25 Cy_2 is amino-quinazolin or pyrrolo-pyridin;

R_3 and R_{3a} taken together form O or S;

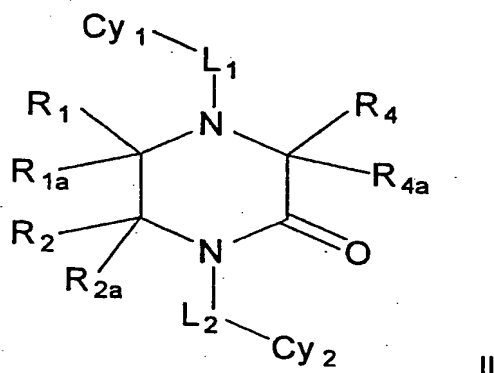
R_1 , R_{1a} , R_2 , R_{2a} , R_4 and R_{4a} are independently selected from hydrogen, carboxy, alkoxycarbonyl, $Y_1Y_2NC(O)-$, wherein Y_1 and Y_2 are defined as in claim 1, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl; or R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form an aryl or heteroaryl group; or one or more of the pairs R_1 and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_2 and R_{2a} taken together with the carbon atom through

which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_4 and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; and m and n are each 1.

10. A compound according to claims 1, 6, 7, 8 and 9 wherein Cy_2 is optionally substituted with one or more groups selected from amino, carbamoyl, acylamino, heteroaryl, heterocyclenyl, heterocyclyl, alkyl, amidino, alkyloxycarbonyl, hydroxy, alkoxy, aryl, isourea, guanidino, acylhydrazino, acyl, cyano, carboxy, sulfamoyl, or halo.

11. A compound according to claims 1, 6, 7, 8 and 9 wherein Cy_1 is optionally substituted with one or more groups selected from amino, halo, hydroxyl, aryl, heteroaryl, amidino, alkyl, acylamino, carbamoyl, cyano, alkoxy, nitro, carbamate, sulfamyl.

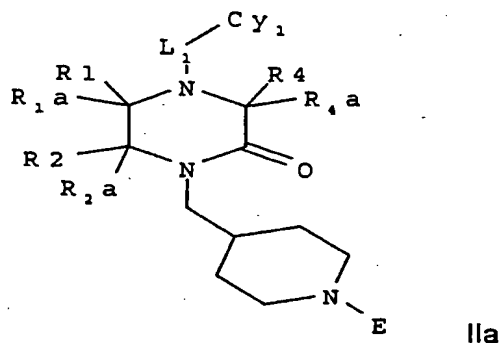
12. A compound according to claim 1 having the formula II



or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof, wherein R_1 , R_{1a} , R_2 , R_{2a} , R_4 , R_{4a} , Cy_1 , Cy_2 , L_1 , and L_2 are as defined in formula I.

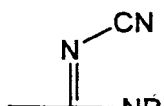
13. A compound according to claim 12 wherein Cy_2 contains at least one nitrogen atom and when Cy_2 is optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted fused phenylcycloalkyl or optionally substituted fused phenylcycloalkenyl, then said nitrogen atom is a basic nitrogen atom.

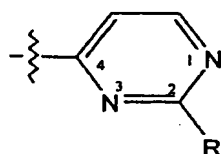
14. A compound according to claim 1 having the formula IIa



or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof, wherein

- 5 R_1 , R_{1a} , R_2 , R_{2a} , R_4 and R_{4a} are independently selected from hydrogen, alkyl, alkoxyalkyl, aminoalkyl, aminoalkylalkoxy, carboxy, alkoxy carbonyl, $Y_1Y_2NC(O)-$, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl, or R_1 and R_{1a} , R_2 and R_{2a} or R_4 and R_{4a} taken together form O or S; or R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form a
- 10 cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form an aryl or heteroaryl group; or one or more of the pairs R_1 and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_2 and R_{2a} taken together with the carbon atom through which they are linked form a 3
- 15 to 7 membered cycloalkyl or cycloalkenyl group; or R_4 and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; Cy_1 are independently selected from isoxazolyl, thiophenyl, thiophenyl-isoxazolyl, optionally substituted by halogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl,
- 20 optionally substituted heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroaryl cycloalkyl, optionally substituted fused heteroaryl cycloalkenyl, optionally substituted fused heteroaryl heterocyclyl and optionally substituted fused heteroaryl heterocyclenyl;
- 25 L_1 is absent, methylene, O, NR_5 , $-S(O)p-$, $-S(O)pNR_5-$, $-C(X)Y-$ or $-L_3-Q-L_4-Q'-L_5-$, $-C(O)Y-C(X)Y-$, $-C(X)YC(O)-$, $-C(C)NR_5-S(O)p-$, or $-C(O)C(O)NR_5S(O)p-$; p is 1 or 2, and

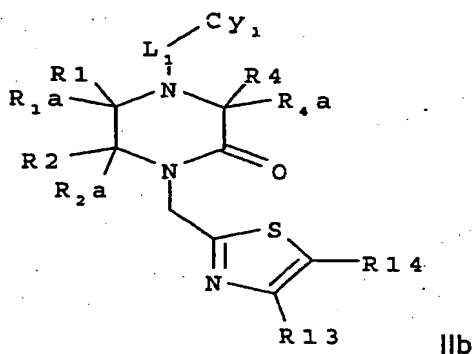
E is alkoxycarbonyl, carbamoyl, acyl, alkyl, pyridinyl, amidino;  $\text{NR}_{12}\text{R}_{12}'$ wherein R_{12} and R_{12}' are independently selected from hydrogen or optionally substituted lower alkyl; or



R_{15} wherein R_{15} is selected from halogen, alkoxy, alkylthio and $\text{Y}_1\text{Y}_2\text{N}-$, wherein Y_1 and Y_2 are independently, hydrogen, alkyl and aralkyl.

5

15. A compound according to claim 1 having the formula IIb



IIb

or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof, wherein

- 10 R_1 , R_{1a} , R_2 , R_{2a} , R_4 and R_{4a} are independently selected from hydrogen, carboxy, alkoxycarbonyl, $\text{Y}_1\text{Y}_2\text{NC(O)-}$, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl, or R_1 and R_{1a} , R_2 and R_{2a} or R_4 and R_{4a} taken together form O or S; or R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form a cycloalkyl group, cycloalkenyl group,
- 15 heterocyclyl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form an aryl or heteroaryl group; or one or more of the pairs R_1 and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_2 and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or
- 20 cycloalkenyl group; or R_4 and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;
- Cy_1 are independently selected from optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted fused

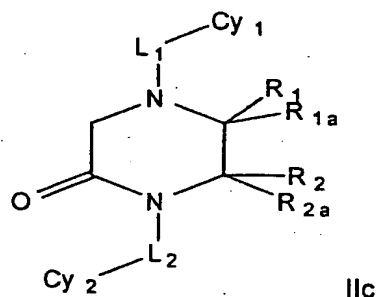
arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylcycloalkyl, optionally substituted fused heteroarylcycloalkenyl, optionally substituted fused heteroarylheterocyclyl and optionally substituted fused heteroarylheterocyclenyl;

- 5 L_1 is absent, O, NR_5 , $-S(O)p-$, $-S(O)pNR_5-$, $-C(X)Y-$ or $-L_3-Q-L_4-Q'-L_5-$, $-C(O)Y-C(X)Y-$, $-C(X)YC(O)-$, $-C(C)NR_5-S(O)p-$, or $-C(O)C(O)NR_5S(O)p-$; and

R_{13} and R_{14} are independently hydrogen, lower alkyl, aryl, heteroaryl, amino, acylaminoalkyl, alkoxy-carbonylalkyl, carbamoylalkyl or alkoxyalkyl; or R_{13} and R_{14} together with the carbon

- 10 atoms through which R_{13} and R_{14} are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, heterocyclenyl group, aryl group or heteroaryl group.

16. A compound according to claim 1 having the formula IIc



- 15 or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof, wherein:

Cy_1 is thiaheteroaryl, benzothiopheneyl or azaheteroaryl, which are unsubstituted or substituted by halogen,

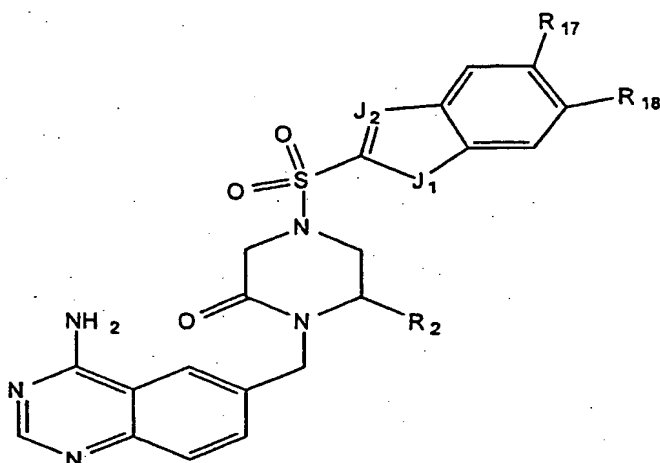
L_1 is $-S(O)_2-$, $-S(O)_2$ -alkylene-, $-S(O)_2$ -alkenylene- or $-S(O)_2$ -alkynylene-;

- 20 R_1 , R_{1a} , R_2 , R_{2a} are independently hydrogen, alkyl, alkoxyalkyl, aminoalkyl, aminoalkylalkoxy, carboxyl, alkoxy-carbonyl, or carbamoyl; L_2 is methylene; and

Cy_2 is azaheteroaryl, azaheterocyclyl, azaheterocyclenyl, fused azaheteroarylcycloalkyl, fused azaheteroarylcycloalkenyl, fused heteroarylazacycloalkyl or fused heteroarylazacycloalkenyl.

25

17. A compound according to claim 1 having the formula II d



IId

wherein R_{17} and R_{18} are independently hydrogen or halogen;

J_1 is S or NH;

J_2 is CH or N; and

5 R_2 is hydrogen, alkyl, carboxyl, alkoxycarbonyl, or carbamoyl.

18. A compound according to claim 12 wherein L_1 and L_2 independently are methylene, ethylene, propylene or butenylene; R_1 , R_{1a} , R_2 , R_{2a} are independently hydrogen, alkyl, alkoxyalkyl, aminoalkyl, aminoalkylalkoxy, carboxyl, alkoxycarbonyl, or carbamoyl; Cy_1 is
 10 heteroaryl, thiaheteroaryl, biheteroaryl, thiophenyl, isoxazolyl, isoxazolyl-thiophenyl or azaheteroaryl, which are unsubstituted or substituted by halogen; Cy_2 is azaheteroaryl, quinazolin, amino-quinazolin or 4-aminoquinazolin.

19. A compound according to claim 1 selected from the group consisting of
 15 5-Chloro-2-chlorosulfonyl-indole-1-carboxylic acid tert-butyl ester,
 6-Chloro-2-chlorosulfonyl-indole-1-carboxylic acid tert-butyl ester,
 3-(5-Chloro-thiophen-2-yl)-3-oxo-propionic acid tert-butyl ester,
 Methyl-6-Chloro-benzofurancarboxylate,
 2-Cyclopentyl-3-oxo-piperazine-1-carboxylic acid benzyl ester,
 20 (+/-)-cis-4-benzyloxycarbonyl-decahydroquinoxalin-2-one,
 5-Methyl-3-oxo-2-propyl-piperazine-1-carboxylic acid tert-butyl ester,
 4-[4-Amino-quinazolin-7-ylmethyl]-5-methyl-3-oxo-2-propyl-piperazine,
 (R)-3-Methoxymethyl-5-oxo-piperazine-1-carboxylic acid allyl ester,
 6-Isopropyl-piperazin-2-one,
 25 9-(4-Aminoquinazolin-7-ylmethyl)-6,9-diaza-spiro[4,5]decan-10-one,
 (+/-)-cis-4-benzyloxycarbonyl-decahydroquinoxalin-2-one,

- (+/-)-cis-1-(4-Amino-quinazolin-7-ylmethyl)-decahydroquinoxalin-2-one,,
(+/-)-trans-4-benzyloxycarbonyl-decahydroquinoxalin-2-one,
(+/-)-trans-1-(4-Amino-quinazolin-7-ylmethyl)-decahydroquinoxalin-2-one,
4-Benzyloxycarbonyl-3-(S)-(2-methylsulfanyl-ethyl)-piperazin-2-one,
5 1-(4-Amino-quinazolin-7-ylmethyl)-3-(S)-(2-methylsulfanyl-ethyl)-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-piperazin-2-one,
10 (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R/S)1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid ethyl ester,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
15 (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
20 (4aRS,8aSR)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-octahydro-quinoxalin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-piperazin-2-one
25 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-piperazin-2-one,
[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-(S)-yl]-acetic acid,
[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-(S)-yl]-acetic acid tert-butyl ester,
30 (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid (2-pyrrolidin-1-yl-ethyl)-amide,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid 2-pyrrolidin-1-yl-ethyl ester,
35

- (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
(s)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
- 5 4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazine-1-sulfonic acid (4-chloro-phenyl)-amide,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid 2-imidazol-1-yl-ethyl ester,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-
- 10 piperazine-2-carboxylic acid 2-morpholin-4-yl-ethyl ester,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid pyrrolidin-2-ylmethyl ester,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid 2-methylamino-ethyl ester,
- 15 (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-piperazin-2-one,
(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-piperazin-2-one,
(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-
- 20 piperazin-2-one,
(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-piperazin-2-one,
- 25 (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,
(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,
(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-
- 30 one,
(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,

5 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-methyl-piperazin-2-one,

10 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-methyl-piperazin-2-one,

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one,

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one,

15 1-(4-Amino-quinazolin-7-ylmethyl)-4-(2-chloro-imidazo[1,2-a]pyridin-7-ylmethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-3(S)-(2-methylsulfonyl-ethyl)-piperazin-2-one,

20 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-thieno[2,3-b]pyridin-2-ylmethyl)-(S)-3-propyl-piperazin-2-one,

2-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo(S)-2-propyl-piperazin-1-ylmethyl]-5-chloro-indole-1-carboxylic acid tert-butyl ester,

25 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-(S)-3-propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzofuran-2-ylmethyl)-3(S)-propyl-piperazin-2-one,

30 9-(4-Amino-quinazolin-7-ylmethyl)-6-[3-(5-chloro-thiophen-2-yl)-allyl]-6,9-diaza-spiro[4.5]decan-10-one,

(4aRS,8aSR)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-octahydro-quinoxalin-2-one,

(4aRS,8aSR)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-octahydro-quinoxalin-2-one,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-3(S)-isobutyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(7-chloro-isoquinolin-3-ylmethyl)-3(S)-isobutyl-piperazin-2-one,
- 5 3-[4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazin-1-ylmethyl]-benzamidine,
- (4aRS,8aRS)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-octahydro-quinoxalin-2-one,
- (4aRS,8aRS)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-octahydro-quinoxalin-2-one,
- 10 (4aRS,8aRS)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(7-chloro-isoquinolin-3-ylmethyl)-octahydro-quinoxalin-2-one,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-(7-chloro-isoquinolin-3-ylmethyl)-3-oxo-piperazin-2-(S)-yl]-N-methyl-acetamide,
- 15 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-(7-chloro-isoquinolin-3-ylmethyl)-3-oxo-piperazin-2-(S)-yl]-acetamide,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-3-oxo-piperazin-2-(S)-yl]-acetamide,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-3-oxo-piperazin-2-(S)-yl]-N-methyl-acetamide,
- 20 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-3(S)-isobutyl-piperazin-2-one,
- (s)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-6-methoxymethyl-piperazin-2-one,
- 25 1-(4-Amino-quinazolin-7-ylmethyl)-4-(4-amino-thieno[3,2-d]pyrimidin-6-ylmethyl)-3(S)-methoxymethyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-3-(S)-methoxymethyl-4-(4-pyrimidin-4-yl-benzyl)-piperazin-2-one,
- 4-[4-(2-Amino-pyrimidin-4-yl)-benzyl]-1-(4-amino-quinazolin-7-ylmethyl)-3-(S)-methoxymethyl-piperazin-2-one,
- 30 3-Amino-5-[4-(4-amino-quinazolin-7-ylmethyl)-2(S)-methoxymethyl-3-oxo-piperazin-1-ylmethyl]-thiophene-2-carbonitrile,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-3(S)-(2-methoxy-ethyl)-piperazin-2-one,

3-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazin-1-yl]-3-oxo-propenyl}-benzonitrile,

3-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazin-1-yl]-3-oxo-propenyl}-benzamidine,

5 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-hydroxy-phenyl)-acryloyl]-(3S)-propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-chloro-phenyl)-acryloyl]-(3S)-propyl-piperazin-2-one,

1-[4-(4-Aminoquinazoline-7-ylmethyl)-3-oxo-2-propyl-piperazine-1-yl]-3-(5-chloro-thiophen-2-yl)-propane-1,3,dione,

10 1-[4-(4-Aminoquinazoline-7-ylmethyl)-3-oxo-2-propyl-piperazine-1-yl]-3-(5-chloro-thiophen-2-yl)-2-fluoro-propane-1,3,dione,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl-3-(S)-(2-methylsulfanyl-ethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl-3-(S)-(2-

15 methanesulfinyl-ethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl-3-(S)-(2-methanesulfonyl-ethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-dimethylaminomethyl-piperazin-2-one,

20 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-benzo-[b]thiophene-2-carbonyl)-(3S)-methoxymethyl-piperazin-2-one,

1-(4-Amino-2-methyl-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzofuran-2-carbonyl)-(S)-6-methyl-(S)-3-

25 propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzofuran-2-carbonyl)-3(S)-(2-methylsulfanyl-ethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chlorobenzo[b]-thiophene-2-carbonyl)-(S)-3-propyl-piperazin-2-one

30 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-benzo[b]-thiophene-2-carbonyl)-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]-thiophene-2-carbonyl)-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-thiophen-2-yl)-acryloyl]-(S)-6-methyl-(S)-3-

35 propyl-piperazin-2-one ,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-3-yloxy)-acetyl]-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 5 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-(S)-6-methyl-(S)-3-propyl-piperazin-2-on,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-benzofuran-2-carbonyl)-3(S)-propyl-piperazin-2-one,
- 3-{2-[4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-methoxymethyl-3-oxo-piperazin-1-yl]-2-oxo-ethyl}-benzamidine,
- 10 3-{2-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-piperazin-1-yl]-2-oxo-ethyl}-benzamidin,
- 4-[3-(4-Amino-cyclohexyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-carbonyl)-(S)-3-propyl-
- 15 piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzofuran-2-carbonyl)-3(S)-propyl-piperazin-2-one trifluoroacetate,
- 1-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-2-propyl-piperazin-1-yl]-3-(3-chloro-phenyl)-propane-1,3-dione,
- 20 4-[(5-Amino-pyridin-2-yloxy)-acetyl]-1-(4-amino-quinazolin-7-ylmethyl)-(S)-3-methoxymethyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(R)-methoxymethyl-piperazin-2-one,
- 3-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-piperazin-1-yl]-3-oxo-propyl}-benzamidine,
- 25 3-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazin-1-yl]-3-oxo-propyl}-benzamidine,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(4-imidazol-1-yl-benzoyl)-3(S)-propyl-piperazin-2-one,
- (6-{2-[4-(4-Amino-quinazolin-7-ylmethyl)-(S)-2-methoxymethyl-3-oxo-piperazin-1-yl]-2-oxo-ethoxy}-pyridin-3-yl)-carbamic acid tert-butyl ester,
- 30 (4aRS,8aSR)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-octahydro-quinoxalin-2-one,
- (4aRS,8aRS)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-octahydro-quinoxalin-2-one,
- (4aRS,8aRS)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-
- 35 octahydro-quinoxalin-2-one,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-6-oxo-1,6-dihydro-pyridin-3-yl)-acryloyl]-(S)-3-propyl-piperazin-2-one ,
- 1-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-2-propyl-piperazin-1-yl]-3-(4-hydroxy-phenyl)-propane-1,3-dione,
- 5 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(4-chloro-thiophen-2-yl)-acryloyl]-3-oxo-piperazin-2-(S)-yl]-acetamide,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3-oxo-piperazin-2-(S)-yl]-acetamide,
- 10 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-oxo-piperazin-2-(S)-yl]-acetamide,
- {4-(4-Amino-quinazolin-7-ylmethyl)-1-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-oxo-piperazin-2-(S)-yl}-acetic acid methyl ester
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(4-chloro-thiophen-2-yl)-acryloyl]-3-oxo-piperazin-2-(S)-yl]-N-methyl-acetamide,
- 15 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-oxo-piperazin-2-(S)-yl]-N-methyl-acetamide,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3-oxo-piperazin-2-(S)-yl]-N-methyl-acetamide,
- 4-[3-[4-(4-Amino-quinazolin-7-ylmethyl)-(S)-2-methoxymethyl-3-oxo-piperazin-1-yl]-3-oxo-propenyl]-benzenesulfonamide,
- 20 N-(5-[3-[4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-methoxymethyl-3-oxo-piperazin-1-yl]-3-oxo-propyl]-pyridin-2-yl)-acetamide,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-amino-[1,3,4]thiadiazol-2-ylsulfanyl)-acetyl]-(S)-3-propyl-piperazin-2-one
- 25 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-amino-[1,3,4]thiadiazol-2-ylsulfanyl)-acetyl]-(S)-3-methoxymethyl-piperazin-2-one,
- 3-[4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazine-1-carbonyl]-benzamidine,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(piperidin-3-yloxy)-acetyl]-piperazin-2-one,
- 30 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-chloro-4-hydroxy-phenyl)-(E)-acryloyl]-(3S)-methoxymethyl-piperazin-2-one,
- (3S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-hydroxy-naphthalene-2-carbonyl)-3-propyl-piperazin-2-one,
- (3S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-hydroxy-1H-indole-2-carbonyl)-3-propyl-piperazin-
- 35 2-one,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3-hydroxy-phenoxy)-acetyl]-(3S)-methoxymethyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-hydroxy-phenyl)-acryloyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 5 N-(5-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-2-(S)-propyl-piperazin-1-yl]-3-oxo-propenyl}-pyridin-2-yl)-acetamide,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3-chloro-phenoxy)-acetyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 10 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3,6-bis-methoxymethyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-chloro-phenyl)-acryloyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one
- 15 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-thiophen-2-yl)-acryloyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 4-[3-(6-Amino-pyridin-3-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-(S)-2-propyl-piperazin-1-yl]-N-(5-chloro-thiophen-2-yl)-2-oxo-acetamide,
- 20 2-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-piperazin-1-yl]-N-(5-chloro-thiophen-2-yl)-2-oxo-acetamide,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-3-yl)-acryloyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 25 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-(S)-2-methoxymethyl-3-oxo-piperazin-1-yl]-N-(5-chloro-thiophen-2-yl)-2-oxo-acetamide,
- (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-6-methoxymethyl-piperazin-2-one,
- 30 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3,4-dihydroxy-phenyl)-(E)-acryloyl]-(3S)-methoxymethyl-piperazin-2-one,
- 4-[3-(6-Amino-pyridin-3-yl)-propionyl]-1-(4-amino-quinazolin-7-ylmethyl)-3-(S)-methoxymethyl-piperazin-2-one,

4-[3-(6-Amino-pyridin-3-yl)-propionyl]-1-(4-amino-quinazolin-7-ylmethyl)-3-(S)-propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-thiophen-2-yl)-acryloyl]-3-(S)-hydroxymethyl-piperazin-2-one,

5 N-(5-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-butyl-3-oxo-piperazin-1-yl]-3-oxo-propenyl}-6-methyl-pyridin-2-yl)-acetamide,

N-(5-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-butyl-3-oxo-piperazin-1-yl]-3-oxo-propenyl}-pyridin-2-yl)-acetamide,

10 4-[3-(6-Amino-2-methyl-pyridin-3-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-3-(S)-butyl-piperazin-2-one

1-[4-(4-Aminoquinazolin-7-ylmethyl)-3-oxo-piperazin-1-yl]-3-(5-chloro-thiophen-2-yl)-propane-1,3-dione,

4-[3-(3-Amino-4-chloro-phenyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-methoxymethyl-piperazin-2-one,

15 4-[3-(3-Amino-5-chloro-phenyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-methoxymethyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-3-yloxy)-acetyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,

20 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(4-chloro-thiophen-2-yloxy)-acetyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(4-chloro-benzenesulfinyl)-acetyl]-(3S)-propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(4-hydroxy-phenoxy)-acetyl]-(3S)-methoxymethyl-piperazin-2-one,

25 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(4-chloro-phenylsulfanyl)-acetyl]-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3-chloro-benzenesulfinyl)-acetyl]-(3S)-methoxymethyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-hydroxy-phenyl)-(E)-acryloyl]-3-(S)-methoxymethyl-piperazin-2-one

30 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-hydroxy-phenyl)-(E)-acryloyl]-3-(S)-methoxymethyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(4-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-hydroxymethyl-piperazin-2-one,

35 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3-(S)-hydroxymethyl-piperazin-2-one,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3,6-bis-methoxymethyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-6-methoxymethyl-piperazin-2-one,
- 5 4-[(6-Amino-pyrimidin-4-yloxy)-acetyl]-1-(4-amino-quinazolin-7-ylmethyl)-3(S)-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3-chloro-benzenesulfonyl)-acetyl]-piperazin-2-one,
1-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-piperazin-1-yl]-3-(4-chloro-phenyl)-propane-1,3-dione
- 10 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3-chloro-phenylsulfanyl)-acetyl]-piperazin-2-one,
4-[3-(6-Amino-2-methyl-pyridin-3-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-3-(S)-propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-chloro-phenyl)-3-hydroxy-acryloyl]-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-dimethylamino-phenyl)-acryloyl]-(3S)-propyl-
- 15 piperazin-2-one,
3-(S)-6-(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-6-hydroxymethyl-3-methoxymethyl-piperazin-2-one,
4-[3-(6-Amino-pyridin-3-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-3(S)-isobutyl-piperazin-2-one,
- 20 4-[3-(2-Amino-pyrimidin-5-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-3(S)-propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-hydroxy-phenyl)-acryloyl]-(3S)-propyl-piperazin-2-one,
4-[3-(3-Amino-phenyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-methoxymethyl-
- 25 piperazin-2-one,
4-[3-(4-Amino-3-chloro-phenyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(6-chloro-pyrazin-2-yloxy)-acetyl]-(S)-3-methoxymethyl-piperazin-2-one,
- 30 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(6-chloro-pyrazin-2-yloxy)-acetyl]-(S)-3-propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3(S)-isobutyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3(S)-isobutyl-
- 35 piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(2-amino-thiazol-4-yl)-acetyl]-(S)-3-propyl-piperazin-2-one,

(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-6-oxo-piperazine-2-carboxylic acid ethyl ester,

5 4-[3-(4-Amino-phenyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3,4-dichloro-thiophen-2-yloxy)-acetyl]-(S)-3-propyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3,4-dichloro-thiophen-2-yloxy)-acetyl]-(S)-3-methoxymethyl-piperazin-2-one,

10 4-[3-(6-Amino-pyridin-3-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-3(S)-(2-methoxy-ethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-thiophen-2-yl)-acryloyl]-3(S)-(2-methoxy-ethyl)-piperazin-2-one,

15 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3(S)-(2-methoxy-ethyl)-piperazin-2-one,

4-(4-Amino-quinazolin-7-ylmethyl)-(S)-5-methyl-3-oxo-(S)-2-propyl-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,

4-(4-Amino-quinazolin-7-ylmethyl)-(S)-5-methyl-3-oxo-(S)-2-propyl-piperazine-1-carboxylic acid (5-chloro-thiophen-2-yl)amide,

20 4-(4-Amino-quinazolin-7-ylmethyl)-2(S)-isobutyl-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,

4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-hydroxymethyl-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,

(2S)-4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-2-propyl-piperazine-1-carboxylic acid (5-bromo-thiazol-2-yl)-amide,

25 (2S)-4-(4-Amino-quinazolin-7-ylmethyl)-2-methoxymethyl-3-oxo-piperazine-1-carboxylic acid (5-chloro-thiazol-2-yl)-amide,

(2S)-4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-2-propyl-piperazine-1-carboxylic acid (5-chloro-thiazol-2-yl)-amide,

30 4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazine-1-carboxylic acid (4-hydroxy-phenyl)-amide,

4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-methylcarbamoylmethyl-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,

35 4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-carbamoylmethyl-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,

- (4aRS,8aRS)-4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-octahydro-quinoxaline-1-carboxylic acid (4-chloro-phenyl)-amide,
- 4-(4-Amino-quinazolin-7-ylmethyl)-2(S)-(2-methylsulfanyl-ethyl)-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 5 4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazine-1-carboxylic acid (5-chloro-furan-2-yl)-amide,
- (2S)-4-(4-Amino-quinazolin-7-ylmethyl)-2-methoxymethyl-3-oxo-piperazine-1-carboxylic acid (5-bromo-thiazol-2-yl)-amide,
- N-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-(S)-2-propyl-piperazine-1-carbonyl]-4-chloro-
10 benzenesulfonamide,
- 1-(S)-4-(4-Amino-quinolin-7-ylmethyl)-3-oxo-2-propyl-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 1-(S)-(4-Amino-quinolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-3-propyl-piperazin-2-one,
- 15 1-(S)-(4-Amino-quinolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-4-oxy-3-propyl-piperazin-2-one,
- 1-(S)-4-(4-Amino-quinolin-7-ylmethyl)-2-methoxymethyl-3-oxo-2-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- (S)-4-(4-Aminoquinolin-7-ylmethyl)-2-methoxylmethyl-3-oxo-2-piperazine-1-carboxylic acid (5-
20 chlorothiophen-2-yl)-amide,
- 1-(S)-4-(4-Amino-quinolin-7-ylmethyl)-2-methyl-3-oxo-2-piperazine-1-carboxylic acid phenylamide,
- 1-(S)-4-(4-Amino-quinolin-7-ylmethyl)-2-methyl-3-oxo-2-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 25 1-(S)-4-(4-Amino-cinnolin-7-ylmethyl)-2-methyl-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 1-(S)-(4-Amino-cinnolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3-methyl-piperazin-2-one,
- 1-(4-Amino-cinnolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-3-methyl-piperazin-2-one,
- 30 4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-(+)-carboxylic acid methyl ester,
- 4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-(-)-carboxylic acid methyl ester,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
35 piperazine-2-(+)-carboxylic acid amide,

- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-
(-)-carboxylic acid amide,
4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-
5 ylmethyl)-piperazin-2-one,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-
ylmethyl)-piperazin-2-one,
4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-hydroxymethyl-1-(1-methyl-1H-pyrrolo[3,2-
c]pyridin-2-ylmethyl)-piperazin-2-one,
10 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-hydroxymethyl-1-(1-methyl-1H-pyrrolo[3,2-
c]pyridin-2-ylmethyl)-piperazin-2-one,
4-(5-Chloro-1H-indole-2-sulfonyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
4-(5-Chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,
15 4-(7-Methoxy-naphthalene-2-sulfonyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
4-(Benzo[b]thiophene-2-sulfonyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
4-[3-(5-Chloro-thiophen-2-yl)-benzyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,
20 4-[3-(5-Chloro-thiophen-2-yl)-benzyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
4-[5-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,
4-[5-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-
ylmethyl)-piperazin-2-one,
25 4-[5-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-
2-ylmethyl)-piperazin-2-one,
4-[2-(4-Chloro-phenyl)-1H-indol-3-ylmethyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,
4-[6-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-
30 2-ylmethyl)-piperazin-2-one,
4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,
4-[6-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,

- 4-(5-Chloro-[2,3']bithiophenyl-5'-ylmethyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(5'-Chloro-[2,2']bithiophenyl-5-ylmethyl)-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 5 4-[2,2']Bithiophenyl-5-ylmethyl-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(5-Chloro-[2,3']bithiophenyl-5'-ylmethyl)-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[6-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 10 4-[3-(5-Chloro-thiophen-2-yl)-4-fluoro-benzyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[5-(3-Chloro-phenyl)-furan-2-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 15 4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[3-(5-Chloro-thiophen-2-yl)-4-fluoro-benzyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 20 4-[5-(3-Chloro-phenyl)-furan-2-ylmethyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[3-(5-Chloro-thiophen-2-yl)-allyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(5-Chloro-1H-indol-2-ylmethyl)-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 25 4-(5'-Chloro-[2,2']bithiophenyl-5-ylmethyl)-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-3-(S)-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 30 4-[5-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-3-(S)-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 1-(4-Amino-2-methyl-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-propyl-piperazin-2-one,
- 7-[4-[3-(5-Chloro-thiophen-2-yl)-acryloyl]-2-oxo-(S)-3-propyl-piperazin-1-ylmethyl]-3H-quinazolin-4-one,
- 35

7-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-2-oxo-(S)-3-propyl-piperazin-1-ylmethyl}-3H-quinazolin-4-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-thiophen-2-yl)-acryloyl]-(S)-6-methyl-(S)-3-propyl-piperazin-2-one

5 4-[3-(5-Chloro-thiophen-2-yl)-allyl]-(S)-3-ethyl-1-(4-hydroxy-quinolin-7-ylmethyl)-piperazin-2-one,

7-{4-[3-(5-Chloro-thiophen-2-yl)-allyl]-3-(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-2H-isoquinolin-1-one,

10 7-[4-(7-Chloro-isoquinolin-3-ylmethyl)-3-(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl]-2H-isoquinolin-1-one,

4-(5-Chloro-1H-indol-2-ylmethyl)-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,

4-(5-Chloro-1H-indol-2-ylmethyl)-3-(S)-methyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,

15 6-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-3-methyl-3H-quinazolin-4-one,

6-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-3H-quinazolin-4-one,

20 4-(7-Chloro-isoquinolin-3-ylmethyl)-3-(S)-methyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,

4-(7-Chloro-isoquinolin-3-ylmethyl)-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,

4-(7-Chloro-isoquinolin-3-ylmethyl)-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-methyl-piperazin-2-one,

25 4-(7-Chloro-isoquinolin-3-ylmethyl)-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,

4-(7-Chloro-isoquinolin-3-ylmethyl)-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-piperazin-2-one

4-(7-Chloro-isoquinolin-3-ylmethyl)-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,

30 4-(7-Chloro-isoquinolin-3-ylmethyl)-3-(S)-methoxymethyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,

4-[3-(6-Amino-pyridin-3-yl)-propionyl]-3-(S)-methoxymethyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,

35 (S)-4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-6-methoxymethyl-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-piperazin-2-one,

- 4-[3-(5-Chloro-thiophen-2-yl)-acryloyl]-3(S)-isobutyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-(3-imidazol-1-yl-benzyl)-3-(S)-methoxymethyl-piperazin-2-one,
5 4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3(S)-isobutyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,
4-[3-(6-Amino-pyridin-3-yl)-acryloyl]-3(S)-isobutyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,
4-[3-(6-Amino-pyridin-3-yl)-acryloyl]-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-
10 piperazin-2-one,
4-[(5-Chloro-thiophen-3-yloxy)-acetyl]-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
15 4-[3-(4-Chloro-thiophen-2-yl)-acryloyl]-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
4-[3-(5-Chloro-thiophen-2-yl)-acryloyl]-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
4-[3-(4-Chloro-thiophen-2-yl)-acryloyl]-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-propyl-
20 piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
4-[3-(5-Chloro-thiophen-2-yl)-acryloyl]-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
25 4-[(5-Chloro-thiophen-3-yloxy)-acetyl]-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-6,7-dihydro-5H-benzothiazol-4-one,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4-methyl-thiazole-5-
30 carboxylic acid methylamide,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4-methyl-thiazole-5-carboxylic acid dimethylamide,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-pyridin-4-yl-thiazol-2-ylmethyl)-piperazin-2-one hydrobromide,

2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid amide,

{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-acetic acid methyl ester,

5 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid ethyl ester,

2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4-methyl-thiazole-5-carboxylic acid methyl ester,

1-(4-tert-Butyl-thiazol-2-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,

10 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(5-chloro-thiophen-2-yl)-thiazol-2-ylmethyl]-piperazin-2-one,

1-[4-(4-Bromo-phenyl)-thiazol-2-ylmethyl]-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,

15 1-[4-(3-Bromo-phenyl)-thiazol-2-ylmethyl]-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-methyl-thiazol-2-ylmethyl)-piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-pyridin-3-yl-thiazol-2-ylmethyl)-piperazin-2-one,

1-(5-Acetyl-4-methyl-thiazol-2-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,

20 3-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-3-methyl-butyric acid ethyl ester,

1-(4-Adamantan-1-yl-thiazol-2-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,

1-(4-Adamantan-1-yl-thiazol-2-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,

25 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-phenyl-thiazol-2-ylmethyl)-piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(4-hydroxy-phenyl)-thiazol-2-ylmethyl]-piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(4-hydroxy-phenyl)-thiazol-2-ylmethyl]-

30 piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4,5,6,7-tetrahydro-benzothiazol-2-ylmethyl)-piperazin-2-one,

2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid dimethylamide,

- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid ethyl ester,
- 2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-benzoic acid,
- 5 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(2-hydroxy-phenyl)-thiazol-2-ylmethyl]-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-pyridin-2-yl-thiazol-2-ylmethyl)-piperazin-2-one,
- 2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-benzamide,
- 10 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4,5,6,7-tetrahydro-thiazolo[5,4-c]pyridin-2-ylmethyl)-piperazin-2-one
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(5-methyl-4,5,6,7-tetrahydro-thiazolo[5,4-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4,5,6,7-tetrahydro-thiazolo[4,5-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 15 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(5-methyl-4,5,6,7-tetrahydro-thiazolo[4,5-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,7-dihydro-5H-thiazolo[4,5-c]pyridin-6-one,
- 20 (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid amide,
- (R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-1-(4,5,6,7-tetrahydro-benzothiazol-2-ylmethyl)-piperazin-2-one,
- (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid ethyl ester,
- 25 (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-4-methyl-thiazole-5-carboxylic acid dimethylamide,
- (R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-1-(4-pyridin-3-yl-thiazol-2-ylmethyl)-piperazin-2-one,
- 30 (R)-3-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-3-methyl-butyric acid ethyl ester,
- (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid,
- (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid dimethylamide,
- 35

(S)-2-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-(3S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid amide,
(S)-2-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-(3S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-thiazole-4-carboxylic acid ethyl ester,
5 (S)-2-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-thiazole-4-carboxylic acid dimethylamide,
(S)-(2-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-thiazol-4-yl)-acetic acid methyl ester,
(S)-4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3(S)-methoxymethyl-1-(4,5,6,7-tetrahydro-
10 benzothiazol-2-ylmethyl)-piperazin-2-one,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-hydroxy-4,5,6,7-tetrahydro-benzothiazol-2-ylmethyl)-piperazin-2-one,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-6,7-dihydro-5H-benzothiazol-4-one oxime,
15 1-(4-Amino-benzothiazol-2-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-5,6,7,8-tetrahydro-thiazolo[4,5-c]azepin-4-one,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic
20 acid dimethylamide,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(pyrrolidine-1-carbonyl)-thiazol-2-ylmethyl]-piperazin-2-one,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(morpholine-4-carbonyl)-thiazol-2-ylmethyl]-piperazin-2-one,
25 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(piperazine-1-carbonyl)-thiazol-2-ylmethyl]-piperazin-2-one,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid N',N'-dimethyl-hydrazine,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic
30 acid (2-hydroxy-ethyl)-methyl-amide,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(3-hydroxy-pyrrolidine-1-carbonyl)-thiazol-2-ylmethyl]-piperazin-2-one,
2-(4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl)-thiazole-4-carboxylic acid methoxy-methyl-amide,

- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid isopropyl-methyl-amide,
({2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carbonyl)-methyl-amino)-acetic acid ethyl ester,
- 5 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxamide,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid methylamide,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid isopropylamide,
- 10 {2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-acetic acid,
2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-acetamide,
- 15 2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-N-methyl-acetamide,
2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-N-isopropyl-acetamide,
2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-N,N-
- 20 dimethyl-acetamide,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,7-dihydro-5H-thiazolo[5,4-c]pyridin-6-one,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-piperidin-4-ylmethyl-piperazin-2-one,
4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-piperidine-1-
- 25 carboxylic acid amide,
2-[4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-piperidin-1-yl]-acetamide,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-chloro-pyrimidin-4-yl)-piperidin-4-ylmethyl]-piperazin-2-one,
- 30 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-piperazin-2-one,
(R)-4-(5-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-piperazin-2-one,
(R)-4-(6-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-
- 35 ylmethyl]-6-piperazin-2-one,

(R)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-piperazin-2-one,

(R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methyl-piperazin-2-one,

5 (R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methoxymethyl-piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-oxo-piperazine-2-carboxylic acid methyl ester,

(R)-4-(5-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methyl-piperazin-2-one,

10

(R)-4-(5-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methoxymethyl-piperazin-2-one,

4-(5-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-oxo-piperazine-2-carboxylic acid methyl ester,

15 (R)-4-(6-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methyl-piperazin-2-one,

(R)-4-(6-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methoxymethyl-piperazin-2-one,

4-(6-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-oxo-piperazine-2-carboxylic acid methyl ester,

20

(R)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methyl-piperazin-2-one,

(R)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methoxymethyl-piperazin-2-one,

25 4-(6-chloro-1H-benzimidazole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-oxo-piperazine-2-carboxylic acid methyl ester,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-[2-(2-hydroxy-ethylamino)-pyrimidin-4-yl]-piperidin-4-ylmethyl]-piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-[2-(4-dimethylamino-butylamino)-pyrimidin-4-yl]-piperidin-4-ylmethyl]-piperazin-2-one,

30

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-[2-(3-imidazol-1-yl-propylamino)-pyrimidin-4-yl]-piperidin-4-ylmethyl]-piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-[2-(3-morpholin-4-yl-propylamino)-pyrimidin-4-yl]-piperidin-4-ylmethyl]-piperazin-2-one,

- 4-[(4-{4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-piperidin-1-yl}-pyrimidin-2-yl)-methyl-amino]-butyric acid,
 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-{1-[2-(2-dimethylamino-ethoxy)-pyrimidin-4-yl]-piperidin-4-ylmethyl}-piperazin-2-one,
- 5 Example 1270 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(1-{2-[2-(2-oxo-imidazolidin-1-yl)-ethylamino]-pyrimidin-4-yl}-piperidin-4-ylmethyl)-piperazin-2-one,
 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-{1-[2-(2-dimethylamino-ethylsulfanyl)-pyrimidin-4-yl]-piperidin-4-ylmethyl}-piperazin-2-one,
 4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-3,4,5,6-tetrahydro-
- 10 2H-[1,2']bipyridinyl-5'-carboxylic acid,
 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(1-pyrimidin-2-yl-piperidin-4-ylmethyl)-piperazin-2-one,
 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(1-pyrazin-2-yl-piperidin-4-ylmethyl)-piperazin-2-one,
- 15 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-ylmethyl)-piperazin-2-one,
 4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-3'-carboxylic acid,
 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(6'-methoxy-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-
- 20 4-ylmethyl)-piperazin-2-one,
 4-(6-Chloro-benzo[b]thiophene-sulfonyl)-1-(6'-methoxy-3,4,5,6-tetrahydro-2H-[1,3']bipyridinyl-4-ylmethyl)-piperazin-2-one,
 O-Phenyl-1-cyano-3-{4-[(chlorobenzo[b]thiophene-2-sulfonyl)-2-(keto)piperazin-1-yl]methylpiperidinyl} isourea,
- 25 Preparation of N,N Dimethyl-2-{4-[6-(chlorobenzo[b]thiophene-2-sulfonyl)-2-(keto)piperazin-1-yl]methylpiperidin-1-yl}} cyanoformamidine,
 Preparation of N-Methyl-2-{4-[6-(chlorobenzo[b]thiophene-2-sulfonyl)-2-(keto)piperazin-1-yl]methylpiperidin-1-yl}} cyanoformamidine,
 Preparation of N-trans-[[4-(5-Chloro-thiophen-2-yloxy)-acetyl-2-keto-3-(S)-methoxymethyl]-
- 30 piperazin-1-yl]methylcyclohexyl-cyanoguanidine,
 N-trans-[[4-(5-Chloro-thiophen-2-yloxy)-acetyl-2-keto-3-(S)-methoxymethyl]-piperazin-1-yl]methylcyclohexyl-N',N'-dimethyl-cyanoguanidine,
 N-trans-[[4-(5-Chloro-thiophen-2-yloxy)-acetyl-2-keto-3-(S)-methoxymethyl]-piperazin-1-yl]methylcyclohexyl-N'-methyl-cyanoguanidine

N-trans-[[4-(5-Chloro-thiophen-2-yloxy)-acetyl-2-keto-3-(S)-methoxymethyl]-piperazin-1-yl]methylcyclohexyl-N'-(2-hydroxyethyl)-N'-methyl-cyanoguanidine,

Preparation of 4-[(5-Chlorothiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-cis-(4-morpholin-4-yl-cyclohexylmethyl)-piperazin-2-one,

5 and 4-[(5-Chlorothiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-trans-(4-morpholin-4-yl-cyclohexylmethyl)-piperazin-2-one,

4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-trans-{4-[(2-hydroxy-ethyl)-methyl-1-amino]-cyclohexylmethyl}-3-(S)-methoxymethyl-piperazin-2-one,

10 4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-cis-{4-[2-(R,S)-(1-methyl-pyrrolidin-2-yl)-ethylamino]-cyclohexylmethyl}-piperazine-2-one,

4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-trans-{4-[2-(R,S)-(1-methyl-pyrrolidin-2-yl)-ethylamino]-cyclohexylmethyl}-piperazine-2-one,

4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-cis-[4-(2-pyridin-2-yl-ethylamino)-cyclohexylmethyl]-piperazin-2-one,

15 4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-trans-[4-(2-pyridin-2-yl-ethylamino)-cyclohexylmethyl]-piperazin-2-one,

4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-cis-[4-(2-dimethylamino-ethylamino)-cyclohexylmethyl]-3-(S)-methoxymethyl-piperazin-2-one,

20 4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-trans-[4-(2-dimethylamino-ethylamino)-cyclohexylmethyl]-3-(S)-methoxymethyl-piperazin-2-one,

4-(4-cis-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-piperazine-1-carboxylic acid ethyl ester,

4-(4-trans-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-piperazine-1-carboxylic acid ethyl ester,

25 4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-cis-([4-(4-hydroxy-piperidin-1-yl)-cyclohexylmethyl]-3-(S)-methoxymethyl-piperazin-2-one,

4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-trans-([4-(4-hydroxy-piperidin-1-yl)-cyclohexylmethyl]-3-(S)-methoxymethyl-piperazin-2-one,

1-cis-(4-Azepan-1-yl-cyclohexylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-piperazin-2-one,

30 1-trans-(4-Azepan-1-yl-cyclohexylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-piperazin-2-one,

4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-cis-{4-[(pyridin-2-ylmethyl)-amino]-cyclohexylmethyl}-piperazin-2-one,

- 4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-trans-{4-[(pyridin-2-ylmethyl)-amino]-cyclohexylmethyl}-piperazin-2-one,
4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-cis-(4-phenylamino-cyclohexylmethyl)-piperazin-2-one,
5 4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-trans-(4-phenylamino-cyclohexylmethyl)-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-cis-{4-[2-(2-hydroxy-ethoxy)-ethylamino]-cyclohexylmethyl}-3-(S)-methoxymethyl-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-trans-{4-[2-(2-hydroxy-ethoxy)-ethylamino]-cyclohexylmethyl}-3-(S)-methoxymethyl-piperazin-2-one,
10 4-[(5-Chlorothiophen-2-yloxy)-acetyl]-1-[(2-{[N,N-dimethylaminoethyl]-amino}-pyrimidin-5-yl)-methyl]-3-(S)-methoxymethyl-piperazine-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-phenyl)-allyl]-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-yl-methyl)-piperazine-2,3-dione,
15 1-(4-Amino-quinolin-7-ylmethyl)-4-[3-(4-chloro-phenyl)-allyl]-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5'-chloro-[2,2']bithiophenyl-5-ylmethyl)-piperazine-2,3-dione,
1-(3-carbamimidoyl-benzyl)-4-(4-carbamimidoyl-benzyl)-2,3 dioxopiperazine,
20 Bis-1,4-(3-carbamimidoyl-benzyl)-2,3-dioxopiperazine,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-chloro-phenyl)-allyl]-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-piperazine-2,3-dione,
1-(4-Amino-quinolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-yl-methyl)-piperazine-2,3-dione,
25 1-(4-Amino-quinolin-7-ylmethyl)-4-(5'-chloro-[2,2']bithiophenyl-5-ylmethyl)-piperazine-2,3-dione,
1-(4-Amino-quinolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-piperazine-2,3-dione,
1-[3-(3-chloro-phenyl)-allyl]-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
1-[3-(4-chloro-phenyl)-allyl]-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
30 1-(6-chloro-benzo[b]thiophen-2-yl-methyl)-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
1-(5'-chloro-[2,2']bithiophenyl-5-ylmethyl)-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
35 1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(thieno[3,2-b]pyridin-2-ylmethyl)-piperazine-2,3-dione,

- 1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(4-pyridin-2-yl-benzyl)-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(1-hydroxy-pyridin-2-yl)-benzyl]-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(4-pyridin-4-yl-benzyl)-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(1-hydroxy-pyridin-4-yl)-benzyl]-piperazine-2,3-dione,
5 1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(6-methoxy-pyridin-3-yl)-benzyl]-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(2-dimethylamino-pyrimidin-4-yl)-benzyl]-piperazine-2,3-dione,
10 1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(4-{2-[(2-dimethylamino-ethyl)-methyl-amino]-pyrimidin-4-yl}-benzyl)-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(2-dimethylamino-pyrimidin-4-yl)-cyclohexymethyl]-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(4-{2-[(2-dimethylamino-ethyl)-methyl-amino]-pyrimidin-4-yl}-cyclohexylmethyl)-piperazine-2,3-dione,
15 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-methyl-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-ethyl-piperazine-2,3-dione,
20 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-propyl-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-butyl-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-isopropyl-piperazine-
25 2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-isobutyl-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-methoxymethyl-piperazine-2,3-dione,
30 4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-5,6-dioxopiperazine-2-carboxylic acid,
4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-5,6-dioxopiperazine-2-carboxylic acid methyl ester,
4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-5,6-dioxopiperazine-2-
35 carboxylic acid amide or

4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-5,6-dioxopiperazine-2-carboxylic acid methyl amide

or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

5

20. A compound according to claim 1 selected from the group consisting of

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,

(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid (2-pyrrolidin-1-yl-ethyl)-amide,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,

[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-(S)-yl]-acetic acid,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-piperazin-2-one,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,

2-Amino-4-[4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-2-(r)-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-benzonitrile,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,

(R/S)1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid ethyl ester,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-piperazin-2-one,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(S)-1-(4-Amino-6-chloro-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methyl-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,
(R/S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid methyl ester,
(R/S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid methyl ester,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(3-bromo-5-chloro-1H-indol-2-ylmethyl)-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-methyl-1H-indol-2-ylmethyl)-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(3,5-dichloro-1H-indol-2-ylmethyl)-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-pyrrolidin-1-ylmethyl-1H-indol-2-ylmethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-morpholin-4-ylmethyl-1H-indol-2-ylmethyl)-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-methylaminomethyl-1H-indol-2-ylmethyl)-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-dimethylaminomethyl-1H-indol-2-ylmethyl)-piperazin-2-one,
(S)-4-[4-(6-Chloro-1H-benzoimidazole-2-sulfonyl)-2-isobutyl-6-oxo-piperazin-1-ylmethyl]-benzamidine,
(S)-4-[4-(5-Chloro-1H-indole-2-sulfonyl)-2-isobutyl-6-oxo-piperazin-1-ylmethyl]-benzamidine,
(S)-4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-isobutyl-6-oxo-piperazin-1-ylmethyl]-benzamidine,
(S)-4-[4-(6-Chloro-1H-indole-2-sulfonyl)-2-isobutyl-6-oxo-piperazin-1-ylmethyl]-benzamidine,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-isobutyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-methoxymethyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-isobutyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-ylmethyl)-6-methoxymethyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-ylmethyl)-6-isobutyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-ylmethyl)-6-methoxymethyl-piperazin-2-one,
(6S)-2-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-isoindole-1,3-dione,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-ylmethyl)-6-isobutyl-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-morpholin-4-ylmethyl-piperazin-2-one,
(6S)-6-Aminomethyl-1-(4-amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-piperazin-2-

one,

(6S)-N-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-acetamide

4-(3-Acetyl-5-chloro-1H-indol-2-ylmethyl)-1-(4-amino-quinazolin-7-ylmethyl)-piperazin-2-one,

(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-pyrrolidin-1-ylmethyl-piperazin-2-one

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazine-2-carboxylic acid,

(2S)-N-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-methanesulfonamide,

(2S)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-carbamic acid methyl ester,

(2S)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-carbamic acid isopropyl ester,

(2S)-1-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-3-phenyl-urea,

(2S)-5-Bromo-thiophene-2-sulfonic acid [1-(4-amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-amide,

(2S)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-urea,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-(isopropylamino-methyl)-piperazin-2-one,

(2S)-1-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-3-ethyl-urea,

(2S)-N-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-formamide,

(2S)-Furan-2-carboxylic acid [1-(4-amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-amide,

(S)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-yl]-acetic acid,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-methyl-1H-indol-2-ylmethyl)-3-methoxymethyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-fluoro-1H-indol-2-ylmethyl)-piperazin-2-one,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(3,5-dichloro-1H-indol-2-ylmethyl)-3-methoxymethyl-piperazin-2-one,
 (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-(isopropylamino-methyl)-piperazin-2-one,
 (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-(isopropylamino-methyl)-piperazin-2-one,
 (6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-pyrrolidin-1-ylmethyl-piperazin-2-one,
 (6R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-methoxymethyl-piperazin-2-one,
 (2R)-N-{1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-oxo-piperazin-2-ylmethyl}-N-(2-isopropoxy-ethyl)-formamide,
 (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-[(2-isopropoxy ethylamino)-methyl]-piperazin-2-one,
 (6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-piperidin-1-ylmethyl-piperazin-2-one,
 (6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-[(cyclopentyl-methyl-amino)-methyl]-piperazin-2-one,
 (6R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-ethoxymethyl-piperazin-2-one or
 (6R)-1-(4-Amino-quinazolin-7-ylmethyl)-6-benzyloxymethyl-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-piperazin-2-one
 or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

21. A compound according to claim 1 selected from the group consisting of
 (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
 (+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid 2-pyrrolidin-1-yl-ethyl ester,
 [1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-(S)-yl]-acetic acid tert-butyl ester,
 (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-(isopropylamino-methyl)-piperazin-2-one,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-(4-methyl-piperazin-1-ylmethyl)-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-[(2-dimethyl-amino-ethyl)-methyl-amino]-methyl]-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-pyrrolidin-1-ylmethyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-(isopropylamino-methyl)-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-(isopropylamino-methyl)-piperazin-2-one,
(2R)-N-{1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-oxo-piperazin-2-ylmethyl}-N-(2-isopropoxy-ethyl)-formamidine,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-[[[1,3]dioxolan-2-ylmethyl-methyl-amino)-methyl]-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-(4-pyrrolidin-1-yl-piperidin-1-ylmethyl)-piperazin-2-one or
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-[(2-methoxy-ethylamino)-methyl]-piperazin-2-one
or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

22. A compound according to claim 1 selected from the group consisting of

1-[4-(2-Chloro-pyrimidin-4-yl)-benzyl]-4-[3-(5-chloro-thiophen-2-yl)-allyl]-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[4-(5-chloro-thiophen-2-yl)-benzyl]-piperazine-2,3-dione,
1-[4-(5-Chloro-thiophen-2-yl)-benzyl]-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-

dione,

1-(4-Amino-quinolin-7-ylmethyl)-4-[4-(5-chloro-thiophen-2-yl)-benzyl]-piperazine-2,3-dione,

1-[1-(2-Chloro-pyrimidin-4-yl)-piperidin-4-ylmethyl]-4-[3-(5-chloro-thiophen-2-yl)-allyl]-
piperazine-2,3-dione,

1-[3-(5-Chloro-thiophen-2-yl)-allyl]-5-(S)-isopropyl-4-(3,4,5,6-tetrahydro-2H-[1,4']bipyridinyl-4-
ylmethyl)-piperazine-2,3-dione,

1-[3-(5-Chloro-thiophen-2-yl)-allyl]-4-(3,4,5,6-tetrahydro-2H-[1,4']bipyridinyl-4-ylmethyl)-
piperazine-2,3-dione,

1-[3-(5-Chloro-thiophen-2-yl)-allyl]-4-(4-pyridin-3-yl-benzyl)-piperazine-2,3-dione,

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-4-(4-pyridin-4-yl-benzyl)-piperazine-2,3-
dione,

1-[4-(6-Amino-pyridin-3-yl)-benzyl]-4-[3-(5-chloro-thiophen-2-yl)-allyl]-piperazine-2,3-dione,

1-[3-(5-Chloro-thiophen-2-yl)-allyl]-4-[4-(1-oxy-pyridin-3-yl)-benzyl]-piperazine-2,3-dione,

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-5-(S)-isopropyl-4-(3,4,5,6-tetrahydro-2H-
[1,4']bipyridinyl-4-ylmethyl)-piperazine-2,3-dione,

1-[3-(5-Chloro-thiophen-2-yl)-allyl]-5-(S)-isopropyl-4-(4-pyrimidin-4-yl-benzyl)-piperazine-2,3-
dione,

1-[3-(5-Chloro-thiophen-2-yl)-allyl]-4-(4-pyrimidin-4-yl-benzyl)-piperazine-2,3-dione or

1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-piperazine-
2,3-dione

or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an
N-oxide thereof, a hydrate thereof or a solvate thereof.

23. A compound according to claim 1 selected from the group consisting of

(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-
oxo-piperazine-2-carboxylic acid;

(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-
oxo-piperazine-2-carboxylic acid methyl ester;

(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-
oxo-piperazine-2-carboxylic acid ethyl ester;

1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-oxo-piperazine-2-carboxylic acid 2-pyrrolidin-1-yl-ethyl ester;

1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-oxo-piperazine-2-carboxylic acid 2-pyrrolidin-1-yl-ethyl amide;

5 (+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid methyl ester;

(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid methyl ester;

10 (+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid methyl ester;

(S)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-yl] acetic acid;

(S)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-yl] acetic acid tert-butyl ester;

15 (+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-piperazin-2-one;

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-piperazin-2-one;

20 (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-piperazin-2-one;

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-piperazin-2-one;

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-piperazin-2-one;

25 (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-piperazin-2-one;

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-piperazin-2-one;

30 (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropylaminomethyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one;

5 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

10 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

15 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one;

20 (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

25 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

30 (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

5 (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

10 (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

15 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-ylmethyl)-piperazin-2-one;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-piperazin-2-one;

20 N,N-Dimethyl-N4{[(chlorobenzo[b]thiophene-2-sulfonyl)-2-(keto)piperazin-1-yl]methylpiperidinyl} cyanoguanidine;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-[2-(2-hydroxy-ethylamino)-pyrimidin-4-yl]-piperidin-4-ylmethyl]-piperazin-2-one;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-pyrimidin-4-yl]-piperidin-4-ylmethyl]-piperazin-2-one;

25 3-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-3-methyl-butyric acid ethyl ester;

(R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid amide;

30 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid ethyl ester;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4,5,6,7-tetrahydro-benzothiazol-2-ylmethyl)-piperazin-2-one;

(R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-1-(4-pyridin-3-yl-thiazol-2-ylmethyl)-piperazin-2-one;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-hydroxy-4,5,6,7-tetrahydro-benzothiazol-2-ylmethyl)-piperazin-2-one;

2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid isopropyl-methyl-amide;

5 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid amide;

2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-6,7-dihydro-5H-benzothiazol-4-one oxime;

10 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid methoxy-methyl-amide;

2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-6,7-dihydro-5H-benzothiazol-4-one;

(R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid dimethylamide;

15 1-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-5-oxo-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-carboxylic acid methyl ester;

1-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-5-oxo-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-carboxylic acid methyl ester;

20 1-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-5-oxo-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-carboxylic acid methyl ester;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-hydroxymethyl-1-(1-methyl-1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-carboxylic acid methyl ester;

25 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one; and

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one;

30 or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

24. A compound according to claim 1 selected from the group consisting of 1-4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-piperazin-2-one ditrifluoroacetate,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-3-(S)-methoxymethyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-3-(S)-propyl-piperazin-2-one ditrifluoroacetate,
5 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-3-(S)-methyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-isoxazol-5-ylmethyl]-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-isoxazol-5-ylmethyl]-3-
10 methoxymethyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-isoxazol-5-ylmethyl]-3-methyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-2H-pyrazol-3-ylmethyl]-piperazin-2-one ditrifluoroacetate,
15 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-2H-pyrazol-3-ylmethyl]-3-(S)-methyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-2H-pyrazol-3-ylmethyl]-3-(S)-methoxymethyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(3-chloro-phenyl)-4H-[1,2,4]triazol-3-ylmethyl]-(s)-3-
20 methoxymethyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(3-chloro-phenyl)-4H-[1,2,4]triazol-3-yl-methyl]-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-4H-[1,2,4]triazol-3-ylmethyl]-piperazin-2-one ditrifluoroacetate,
25 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-4H-[1,2,4]triazol-3-ylmethyl]-(s)-3-methoxymethyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(4-chloro-phenyl)-4H-[1,2,4]triazol-3-yl-methyl]-(s)-3-methoxymethyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]oxadiazol-2-ylmethyl]-(s)-
30 3-methyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-4H-[1,2,4]triazol-3-ylmethyl]-(s)-3-methyl-piperazin-2-one ditrifluoroacetate,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-4H-[1,2,4]triazol-3-ylmethyl]-(s)-3-methoxymethyl-piperazin-2-one ditrifluoroacetate,

1-(4-Amino-quinazolin-7-ylmethyl-4-[5-(5-chloro-thiophen-2-yl-[1,3,4]oxadiazol-2-ylmethyl)]-piperazin-2-one ditrifluoroacetate,

1-(4-Amino-quinazolin-7-ylmethyl-4-[5-(4-chloro-phenyl)-4H-[1,2,4]triazol-3-yl-methyl]-piperazin-2-one ditrifluoroacetate,

5 1-(4-Amino-quinazolin-7-ylmethyl-4-[5-(5-chloro-thiophen-2-yl-[1,3,4]thiadiazol-2-ylmethyl)]-piperazin-2-one ditrifluoroacetate,

1-(4-Amino-quinazolin-7-ylmethyl-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]thiadiazol-3-ylmethyl]-(s)-3-methoxymethyl-piperazin-2-one ditrifluoroacetate,

10 1-(4-Amino-quinazolin-7-ylmethyl-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]thiadiazol-3-ylmethyl]-(s)-3-methyl-piperazin-2-one ditrifluoroacetate,

1-(4-Amino-quinazolin-7-ylmethyl-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]thiadiazol-3-ylmethyl]-(s)-3-propyl-piperazin-2-one ditrifluoroacetate,

1-(4-Amino-quinazolin-7-ylmethyl-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]thiadiazol-3-ylmethyl]-(s)-3-ethyl-piperazin-2-one ditrifluoroacetate,

15 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-piperazin-2-one trit trifluoroacetate,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[2-(5-chloro-thiophen-2-yl)-pyridin-5-yl-methyl]-piperazin-2-one trit trifluoroacetate,

20 4-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one ditrifluoroacetate,

4-[5-(5-Chloro-thiophen-2-yl)-4H-[1,2,4]triazol-3-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one ditrifluoroacetate,

[5-(5-Chloro-thiophen-2-yl)-[1,3,4]oxadiazol-2-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,

25 4-[5-(5-Chloro-thiophen-2-yl)-oxazol-2-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one ditrifluoroacetate,

4-[5-(5-Chloro-thiophen-2-yl)-[1,3,4]thiadiazol-2-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one ditrifluoroacetate,

30 4-[5-(5-Chloro-thiophen-2-yl)-2H-pyrazol-3-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one ditrifluoroacetate or

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one ditrifluoroacetate

or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

25. A compound according to claim 6 selected from the group consisting of 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-(R)-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,

4-(6-Chloro-thieno[2,3-b]pyridine-2-sulfonyl)-6-(R)-methoxymethyl-1-(1H-pyrrolo [3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,

4-(5-Chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-(S)-isopropyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,

4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-(S)-isopropyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-one,

4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-(S)- propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-one,

4-(5-Chloro-1H-indole-2-sulfonyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,

4-[3-(5-Chloro-thiophen-2-yl)-isoxazol-5-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,

4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-3-(S)-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-benzyl]-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-[4-(5-chloro-thiophen-2-yl)-benzyl]-piperazin-2-one,

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(7-methyl-1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one or

4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-1-(7-methyl-1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one

or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

26. A pharmaceutical composition comprising a pharmaceutically effective amount of a compound according to claim 1 and a pharmaceutically acceptable carrier.

27. A method for treating a patient suffering from a physiological condition capable of being modulated by inhibiting activity of Factor Xa comprising administering to said patient a

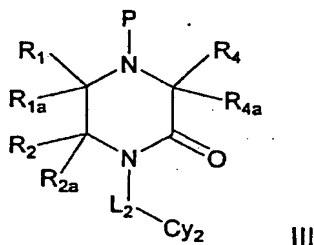
pharmaceutically effective amount of a compound according to claim 1.

28. A method for treating a patient suffering from a physiological condition capable of being modulated by directly inhibiting activity of both Factor Xa and Factor IIa comprising administering to said patient a pharmaceutically effective amount of a compound according to claims 21 and 23.

29. A method for treating a patient suffering from a physiological condition capable of being modulated by directly inhibiting activity of both Factor Xa and Factor IIa comprising administering to said patient a pharmaceutically effective amount of a compound according to claim 16.

30. A method for treating a patient suffering from a physiological condition capable of being modulated by directly inhibiting activity of both Factor Xa and Factor IIa comprising administering to said patient a pharmaceutically effective amount of a compound according to claim 17.

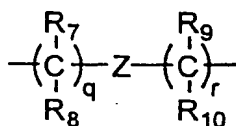
31. A compound of formula III



wherein P is H or a nitrogen protecting group;

R_1 , R_{1a} , R_2 , R_{2a} , R_4 and R_{4a} are independently selected from hydrogen, carboxy, alkoxycarbonyl, $Y_1Y_2NC(O)-$, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl, or R_1 and R_{1a} , R_2 and R_{2a} or R_4 and R_{4a} taken together form O or S; or R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form an aryl or heteroaryl group; or R_1 and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_2 and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_4 and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;

L₂ is absent or a group of formula



Cy₂ is selected from optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylcyloalkyl, optionally substituted fused heteroarylcyloalkenyl, optionally substituted fused heteroarylheterocyclyl and optionally substituted fused heteroarylheterocyclenyl;

R₅ is hydrogen, optionally substituted alkyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, R₆O(CH₂)_v-, R₆O₂C(CH₂)_x-, Y₁Y₂NC(O)(CH₂)_x-, or Y₁Y₂N(CH₂)_v-;

R₆ is hydrogen, optionally substituted alkyl, optionally substituted aralkyl or optionally substituted heteroaralkyl;

Y₁ and Y₂ are independently hydrogen, optionally substituted alkyl, optionally substituted aryl,

optionally substituted aralkyl or optionally substituted heteroaralkyl, or Y₁ and Y₂ taken together with the N through which Y₁ and Y₂ are linked form a monocyclic heterocyclyl;

R₇, R₈, R₉ and R₁₀ are independently selected from hydrogen, hydroxy, alkoxy, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl and optionally substituted heteroaralkyl, provided that only one of R₇ and R₈

or one of R₉ and R₁₀ is hydroxy or alkoxy, and further provided when R₇, R₈, R₉ and R₁₀ is hydroxy or alkoxy, then the hydroxy or alkoxy is not α-substituted to a N, O or S in Z;

Z is absent or is selected from optionally substituted lower alkenylene, optionally substituted lower alkynylene, O, S(O)_p, -C(O)-, NR₅-, -NR₅C(O)- and -C(O)NR₅-;

x is 1, 2, 3 or 4;

v is 2, 3 or 4; and

q and r are independently 0, 1, 2 or 3, provided that q and r are not both 0,

provided that when R₁, R_{1a}, R₂, R_{2a}, R₄ and R_{4a} are independently selected from hydrogen, carboxy, alkoxy, carbonyl, Y₁Y₂NC(O)-, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted

heteroaralkyl then L₂ is absent, or when

R₁, R_{1a}, R₂, R_{2a}, R₄ and R_{4a} are independently Y₁Y₂NC(O)- then Y₁ and Y₂ are independently hydrogen, optionally substituted alkoxy or optionally substituted aryloxy, but Y₁ and Y₂ are not simultaneously hydrogen, or when

R_1 , R_{1a} , R_2 , R_{2a} , R_4 and R_{4a} are independently selected from hydrogen, carboxy, alkoxycarbonyl, $Y_1Y_2NC(O)-$, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl then Z is $-C(O)-$.